

10/030188

JCOB Rec'd PCT/PTC 04 FEB 2002

PATENT APPLICATION

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

The Accompanying Application

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Entry into National Phase of International Application No.:

PCT/GB01/02551 under 35 U.S.C. § 371

For : SERINE PROTEASE INHIBITORS

Docket No. : 00217US

PRELIMINARY AMENDMENT ON FILING

Attention: DO/EO

Box PCT

Assistant Commissioner for Patents

Washington, DC 20231

Sir:

Before calculating the filing fee, please amend the accompanying application as follows:

Please add the Abstract attached on a separate sheet.

In the Claims

Please cancel Claims 19, 20, 27, 28, 30 and 31 (without prejudice); enter the indicated amendments to Claims 1 to 4, 6, 8 to 18, 21 to 24, 26 and 29; and enter new Claims 32 to 35. Directions for amendment of claims are indicated on the copy of the attached hand amended ("marked up") original claims, showing in manuscript the amendments that have been made and the origins of the new claims. Clean forms of new and rewritten claims are included in the attached "Clean Set of Claims" document.

Remarks

This application seeks protection for certain novel compounds that are inhibitors of the serine protease, Factor Xa, and are useful for the treatment of thrombotic disorders, and for a method of use of these and known compounds for the treatment of thrombotic disorders. It is the national stage of an international application, the claims of which were drafted in accordance with international practice.

Applicants now wish to amend the application to bring it into conformity with United States patent practice, and also to distinguish the claims from the disclosure of WO 99/25686, cited in the International Search Report.

For the assistance of the Examiner, a copy of the original claims is attached, as noted above, showing in manuscript the amendments that have been made.

Claims 19, 20, 27, 28, 30 and 31 have been cancelled, without prejudice.

Claim 1 has been amended to exclude the compound 4-[(3-ethoxybenzoyl-D,L-phenylglyciny]aminomethyl]-1-[4-chlorobenzyl]piperidine. This compound is disclosed as

Compound 2099 in WO 99/25686. The compounds of WO 99/25686 are disclosed as inhibitors of the action of chemokines such as MIP-1 α and MCP-1 on target cells.

Claim 25 has been amended to make it clear that the use of the compound 4-[(3-ethoxybenzoyl-D,L-phenylglyciny]-aminomethyl]-1-[4-chlorobenzyl]piperidine to combat a thrombotic disorder still remains within the scope following the amendment of Claim 1.

Claims 2 to 4, 6, 8 to 15, 17 to 18, 21 to 24, 26 and 29 have been rewritten in single dependent form.

Claim 16 has been made dependent upon any one of claims 1 to 15, 17 to 18 and 21 to 24. Claim 25 now depends from Claim 16.

New claim 32 is based upon a combination of original claims 1, 13, 15, 16, 25, 23, and 6. It is noted that all of the original claims were drafted in multiple dependent form, and hence new claim 32 is fully based on these original claims.

New claim 33 is based upon new claim 32, and additionally incorporates the subject matter of Claims 14, 24 and 5.

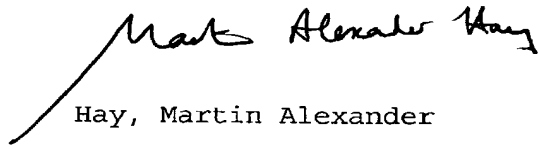
New claim 34 is based upon claims 2, 15, 16, 25, 18 22 and 7, and additionally incorporates the preferred definition of R₂ at page 31, line 21 to page 33, line 2. It is noted that the preferences in parentheses have been deleted before moving the text from the description into the claim.

New claim 34 is based upon new claim 34 and claim 9.

National Phase PCT/GB01/02551

Favorable consideration of the application is requested.

Respectfully submitted,



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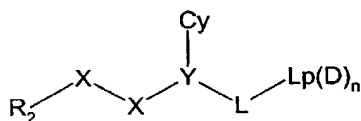
Attachments: Abstract on separate sheet
Hand-amended (marked-up) Claims
Clean Pending Claims

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A B S T R A C T

5 Compounds of formula (I)



(I)

in which R_2 , X, Y, Cy, L and Lp(D)_n have the meanings given in
the specification, are inhibitors of the serine protease,
10 Factor Xa and are useful in the treatment of cardiovascular
disorders.

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